```
=> d his

     (FILE 'HOME' ENTERED AT 16:04:47 ON 16 MAY 2006)

     FILE 'REGISTRY' ENTERED AT 16:05:20 ON 16 MAY 2006
L1             STRUC
L2           0 S L1
L3           2 S L1 FUL
L4             STRUC
L5           3 S L4
L6           3 S L5 NOT L3

     FILE 'CAPLUS' ENTERED AT 16:10:12 ON 16 MAY 2006
L7           1 S L6
L8           2 S L3
L9          41 S (DEACETYLASE(L)INHIBITOR?) AND PIPERIDIN?
L10         41 S L9 NOT (L7 OR L8)
L11         23 S L10 AND (PYRROL? OR PYRAZO? OR OXAZO? OR FURAN? OR THIEN?)

=> s l10 and benzimidaz?
         32894 BENZIMIDAZ?
L12         10 L10 AND BENZIMIDAZ?

=> s l12 and l11
L13          8 L12 AND L11

=> d bib abs 1-8

L13  ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1075803  CAPLUS
DN   143:367317
TI   Preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as
     inhibitors of histone deacetylase
IN   Delorme, Daniel; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana;
     Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane; Zhou, Zhihong;
     Paquin, Isabelle; Gaudette, Frederic; Isakovic, Ljubomir
PA   Methylgene Inc., Can.
SO   PCT Int. Appl., 245 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2005092899         A1    20051006    WO 2005-CA454           20050329
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     US 2005245518         A1    20051103    US 2005-90713           20050325
PRAI US 2004-556828P       P     20040326
     US 2005-90713         A     20050325
     WO 2005-IB802         A     20050325
OS   MARPAT 143:367317
GI
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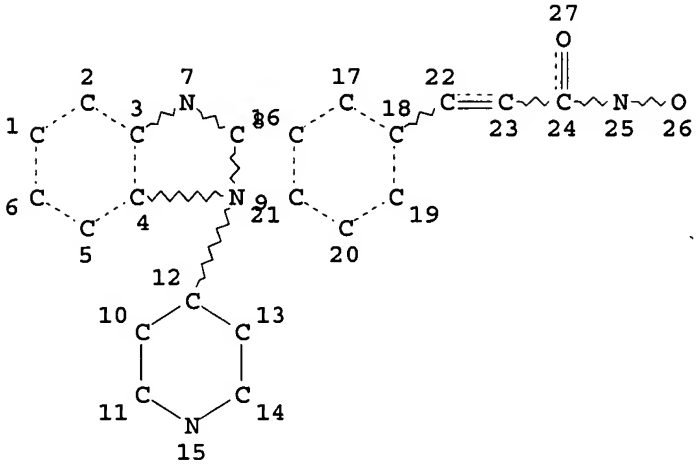

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   9  16
NUMBER OF NODES IS  27

STEREO ATTRIBUTES: NONE


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FULL SCREEN SEARCH COMPLETED -       41 TO ITERATE

100.0% PROCESSED       41 ITERATIONS                                  2 ANSWERS
SEARCH TIME: 00.00.04

L3             2 SEA SSS FUL L1

=> d 1-2

L3   ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   758693-31-1  REGISTRY
ED   Entered STN:  08 Oct 2004
CN   2-Propenamide, N-hydroxy-3-[3-[1-(1-methyl-4-piperidinyl)-1H-benzimidazol-
     2-yl]phenyl]- (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C22 H24 N4 O2
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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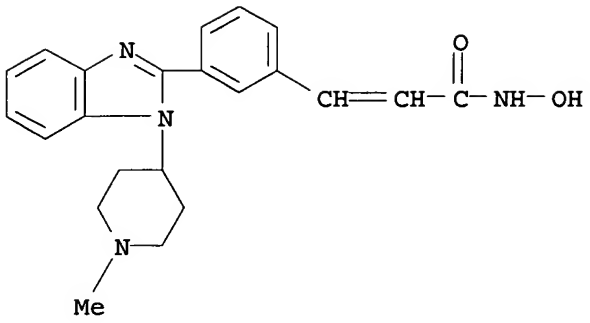
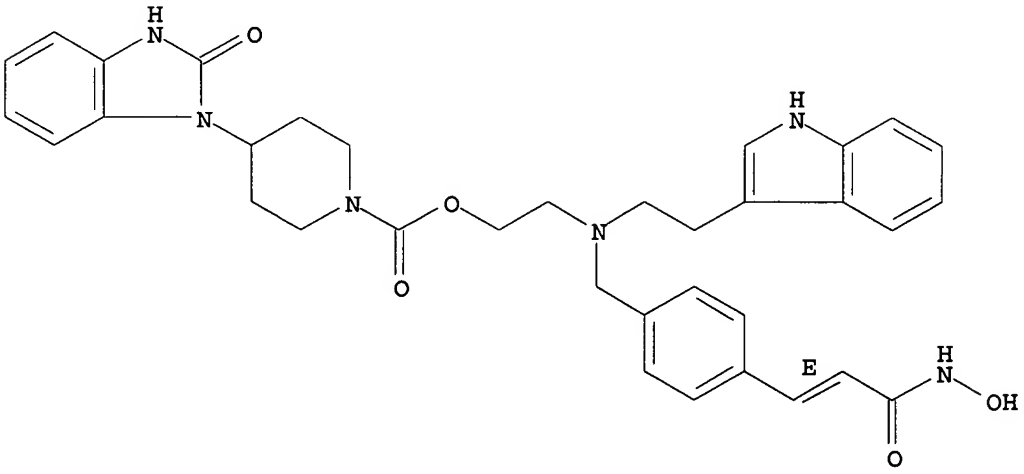


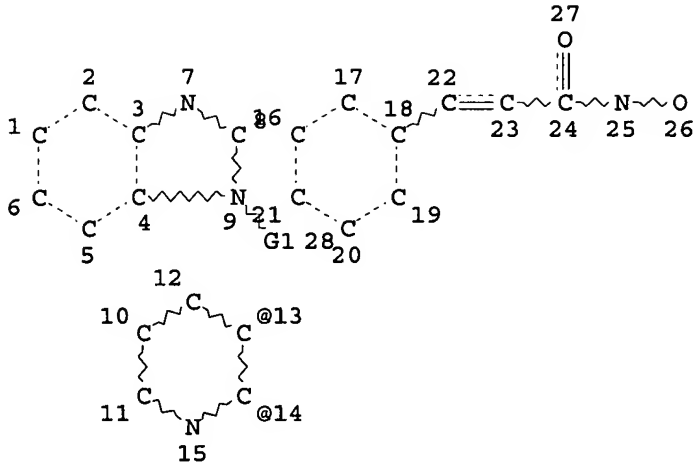
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 404949-04-8 REGISTRY
ED Entered STN: 10 Apr 2002
CN 1-Piperidinecarboxylic acid, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-, 2-[[[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propenyl]phenyl]methyl][2-(1H-indol-3-yl)ethyl]amino]ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H38 N6 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Double bond geometry as shown.





VAR G1=13/14
ENTER (DIS), GRA, NOD, BON OR ?:end
L4 STRUCTURE CREATED

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SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 3 TO 163

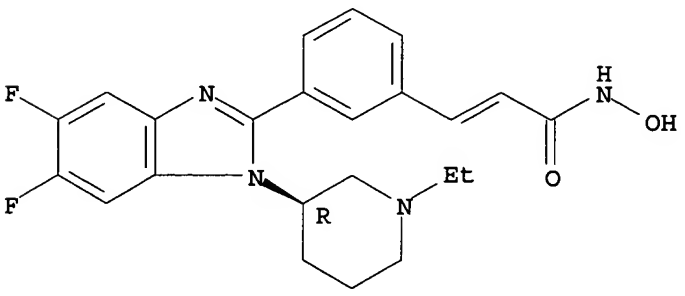
L5 3 SEA SSS SAM L4

=> s l5 not l3
L6 3 L5 NOT L3

=> d scan

L6 3 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2-Propenamide, 3-[3-[1-[(3R)-1-ethyl-3-piperidinyl]-5,6-difluoro-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI)
MF C23 H24 F2 N4 O2

Absolute stereochemistry.
Double bond geometry unknown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	173.82	174.03

FILE 'CAPLUS' ENTERED AT 16:10:12 ON 16 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 16 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 15 May 2006 (20060515/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l6
L7 1 L6

=> d bib abs hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:799454 CAPLUS
DN 141:291229
TI Histone deacetylase inhibitors
IN Bressi, Jerome C.; Brown, Jason W.; Cao, Sheldon X.; Gangloff, Anthony R.; Jennings, Andrew J.; Stafford, Jeffrey A.; Vu, Phong H.; Xiao, Xiao-Yi
PA Syrrx, Inc., USA
SO PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004082638	A2	20040930	WO 2004-US8342	20040317
WO 2004082638	A3	20050506		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2518318	AA	20040930	CA 2004-2518318		20040317
US 2004254220	A1	20041216	US 2004-803575		20040317
US 2004266769	A1	20041230	US 2004-803344		20040317
US 2005137232	A1	20050623	US 2004-803580		20040317
EP 1608628	A2	20051228	EP 2004-757631		20040317

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

PRAI US 2003-455437P	P	20030317	
US 2003-531203P	P	20031219	
WO 2004-US8342	W	20040317	

OS MARPAT 141:291229

AB Compds. that may be used to inhibit histone deacetylase are disclosed. Thus, 119 compds. were prepared which exhibited better than 1000 nM IC50 against HDAC1, HDAC2, HDAC6, and HDAC8 (suberanilohydroxamic acid showed an IC50 of 63 nM in this assay). Many of these compds. were 3-[3-(1-substituted-1H-benzoimidazol-2-yl)phenyl]acrylic acids and N-hydroxy-[3-(1-substituted-1H-benzoimidazol-2-yl)phenyl]acrylamides.

IT **758693-30-0 758694-08-5 758694-10-9**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(histone deacetylase inhibitors)

RN 758693-30-0 CAPLUS
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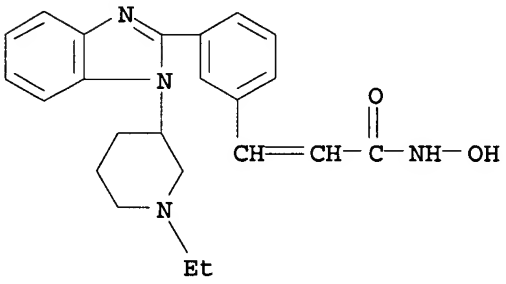
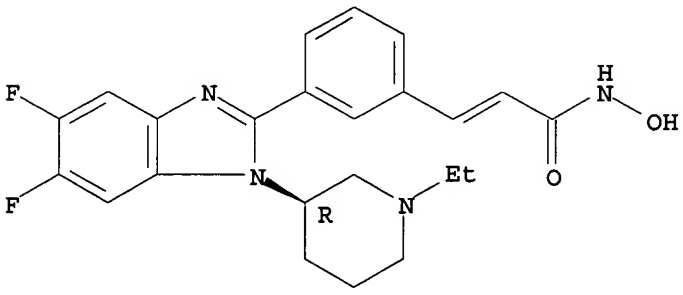


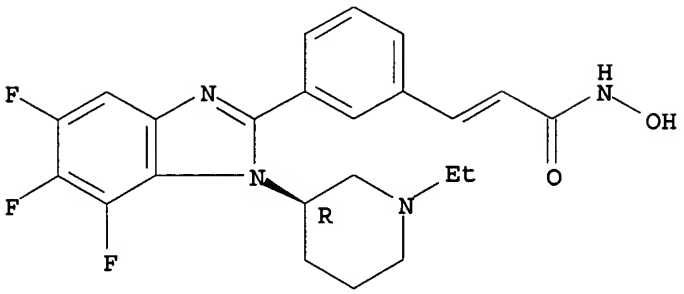
RN 758694-08-5 CAPLUS
CN 2-Propenamide, 3-[3-[1-[(3R)-1-ethyl-3-piperidinyl]-5,6-difluoro-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 758694-10-9 CAPLUS
CN 2-Propenamide, 3-[3-[1-[(3R)-1-ethyl-3-piperidinyl]-5,6,7-trifluoro-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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L8            2 L3

=> d bib abs hitstr 1-2

L8   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:799454  CAPLUS
DN   141:291229
TI   Histone deacetylase inhibitors
IN   Bressi, Jerome C.; Brown, Jason W.; Cao, Sheldon X.; Gangloff, Anthony R.;
     Jennings, Andrew J.; Stafford, Jeffrey A.; Vu, Phong H.; Xiao, Xiao-Yi
PA   Syrrx, Inc., USA
SO   PCT Int. Appl., 276 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2004082638         A2    20040930    WO 2004-US8342          20040317
     WO 2004082638         A3    20050506
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     CA 2518318            AA    20040930    CA 2004-2518318         20040317
     US 2004254220         A1    20041216    US 2004-803575          20040317
     US 2004266769         A1    20041230    US 2004-803344          20040317
     US 2005137232         A1    20050623    US 2004-803580          20040317
     EP 1608628            A2    20051228    EP 2004-757631          20040317
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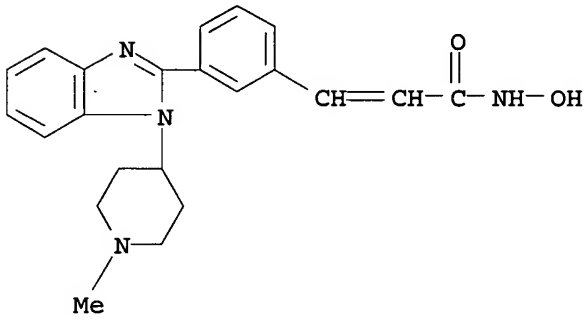
PRAI US 2003-455437P       P     20030317
     US 2003-531203P       P     20031219
     WO 2004-US8342        W     20040317
OS   MARPAT 141:291229
AB   Compds. that may be used to inhibit histone deacetylase are disclosed.
     Thus, 119 compds. were prepared which exhibited better than 1000 nM IC50
     against HDAC1, HDAC2, HDAC6, and HDAC8 (suberanilohydroxamic acid showed
     an IC50 of 63 nM in this assay).  Many of these compds. were
```

3-[3-(1-substituted-1H-benzoimidazol-2-yl)phenyl]acrylic acids and N-hydroxy-[3-(1-substituted-1H-benzoimidazol-2-yl)phenyl]acrylamides.

IT **758693-31-1**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(histone deacetylase inhibitors)

RN 758693-31-1 CAPLUS

CN 2-Propenamide, N-hydroxy-3-[3-[1-(1-methyl-4-piperidinyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:220554 CAPLUS

DN 136:262995

TI Preparation of hydroxamic acids as deacetylase inhibitors

IN Bair, Kenneth Walter; Green, Michael A.; Perez, Lawrence B.; Remiszewski, Stacy W.; Sambucetti, Lidia; Versace, Richard William; Sharma, Sushil Kumar

PA Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH

SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022577	A2	20020321	WO 2001-EP10037	20010830
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	EP 1318980	A2	20030618	EP 2001-960717	20010830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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	US 6552065	B2	20030422		
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	NO 2003000867	A	20030225	NO 2003-867	20030225
	US 2005085507	A1	20050421	US 2004-984501	20041109
PRAI	US 2000-229943P	P	20000901		
	US 2001-292232P	P	20010518		
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OS MARPAT 136:262995

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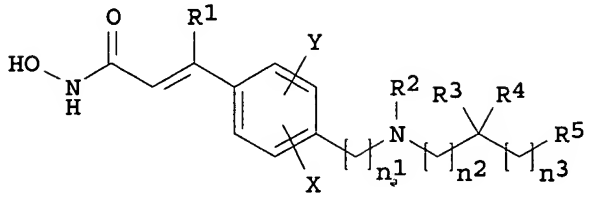

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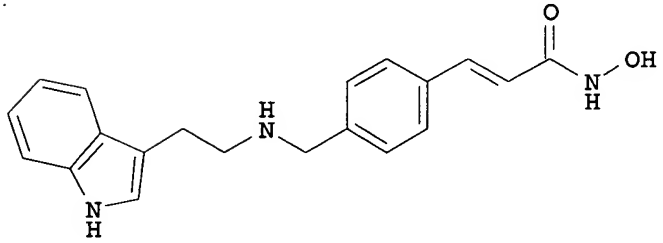


II

AB The title compds. [I; R1 = H, halo, alkyl; R2 = H, alkyl, cycloalkyl, etc.; R3, R4 = H, alkyl, acyl, acylamino; or R3 and R4 together with the carbon atom to which they are bound = CO, CS, C:NR8; or R2 together with the N atom to which is bound and R3 together with the C atom to which it is bound form heterocycloalkyl, heteroaryl, etc.; R5 = H, alkyl, aryl, etc.; n1-n3 = 0-6; X, Y = H, halo, alkyl, etc.; R8 = H, alkyl, aryl, etc.] which are deacetylase inhibitors and therefore suitable for pharmaceutical compns. having anti-proliferative properties, were prepared E.g., a 3-step synthesis of II, starting with 4-formylcinnamic acid, was given. The exemplified compds. I showed IC50 of 0.005-0.5 μM against HDA.

IT **404949-04-8P**

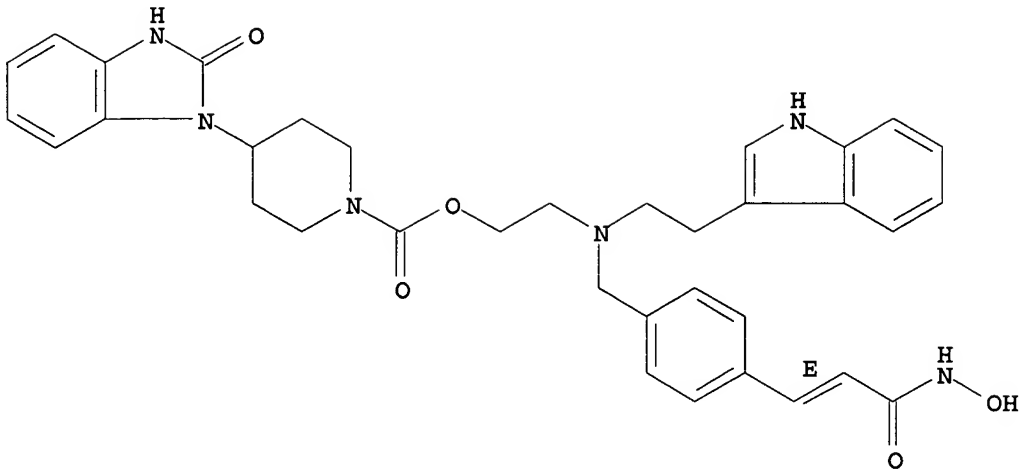
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxamic acids as deacetylase inhibitors)

RN 404949-04-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-, 2-[[[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propenyl]phenyl]methyl][2-(1H-indol-3-yl)ethyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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          5619 DEACETYLASE
        982475 INHIBITOR?
          2646 DEACETYLASE(L)INHIBITOR?
         92742 PIPERIDIN?
L9          41 (DEACETYLASE(L)INHIBITOR?) AND PIPERIDIN?
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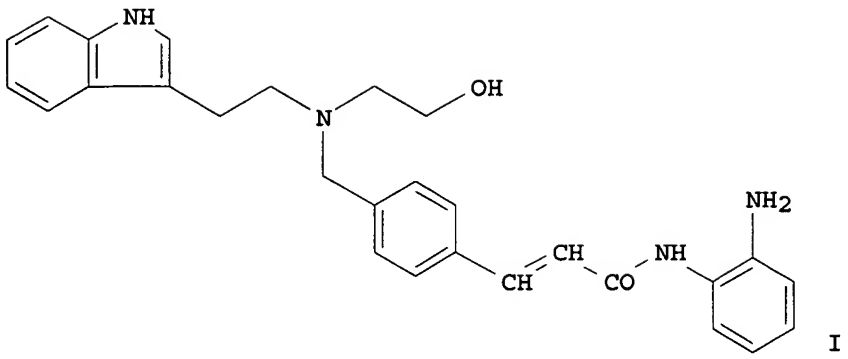


I

AB The invention relates to N-(2-amino and 2-hydroxy)phenyl carboxamides (2-TC6H4NHC(O)(CH:CH)qAr-X-Cy (I); variables defined below; e.g. (E)-N-(2-Aminophenyl)-3-[4-[[(2-hydroxyethyl)[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylamide (shown as II)) useful for inhibiting histone **deacetylase** (HDAC) enzymic activity. The invention also provides a method for inhibiting histone **deacetylase** in a cell using said compds. as well as a method for treating cell proliferative diseases and conditions using said HDAC **inhibitors**. Further, the invention provides pharmaceutical compns. comprising the HDAC inhibiting compds. and a pharmaceutically acceptable carrier. For I: Cy is aryl, heteroaryl, cycloalkyl, or heterocyclyl, each of which is (un)substituted and each of which is optionally fused to ≥1 aryl or heteroaryl rings, or to ≥1 saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings is (un)substituted; X = a chemical bond, L, W-L, L-W, and L-W-L, wherein W, at each occurrence, is S, O, C:O, or N(R9), where R9 = H, alkyl, hydroxyalkyl, and tert-butoxycarbonyl; and L = C1-C4 alkylene; Ar is arylene or heteroarylene, each of which is (un)substituted; q = 0-1; and T is NH2 or OH, provided that when Cy is naphthyl, X is -CH2-, Ar is Ph, and q = 0-1, T is not OH. Although the methods of preparation are not claimed, 215 example prepns. and/or characterization data are included. For example, II was prepared in 6 steps (59, 83, 97, 79, 96 and 80 % yields) starting from (E)-4-formylcinnamic acid and involving intermediates Me (E)-3-(4-formylphenyl)acrylate, Me (E)-3-[4-[[[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylate, Me (E)-3-[4-[[[2-[(tert-butyldimethylsilanyl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylate, (E)-3-[4-[[[2-[(tert-butyldimethylsilanyl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylic acid and (E)-N-(2-aminophenyl)-3-[4-[[[2-[(tert-butyldimethylsilanyl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylamide.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:300395 CAPLUS
DN 142:355054
TI Preparation of amide derivatives as **inhibitors** of histone **deacetylase**
IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030705	A1	20050407	WO 2004-US31591	20040924
	WO 2005030705	C2	20060420		

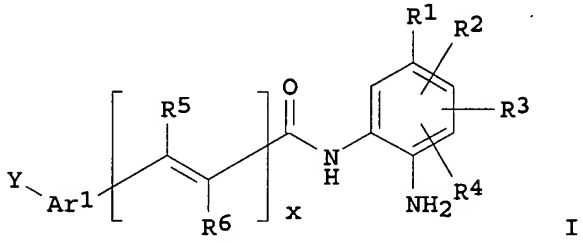
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

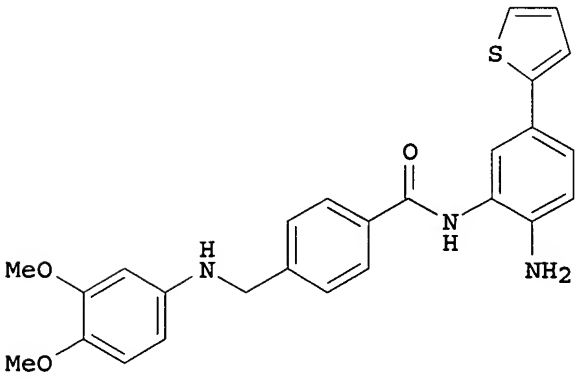
PRAI	US 2003-505884P	P	20030924
	US 2003-532973P	P	20031229
	US 2004-561082P	P	20040409

OS MARPAT 142:355054

GI



I



II

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as **inhibitors** of histone **deacetylase**. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with

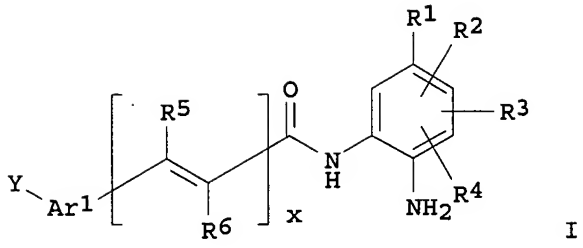
4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction The **inhibitory** capability of I towards antiproliferative activity of histone **deacetylase** enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μM. I as histone **deacetylase inhibitors** should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:300394 CAPLUS
DN 142:373563
TI Preparation of amide derivatives as **inhibitors** of histone **deacetylase**
IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 389 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005030704	A1	20050407	WO 2004-US31590	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2003-505884P	P	20030924		
US 2003-532973P	P	20031229		
US 2004-561082P	P	20040409		

OS MARPAT 142:373563
GI



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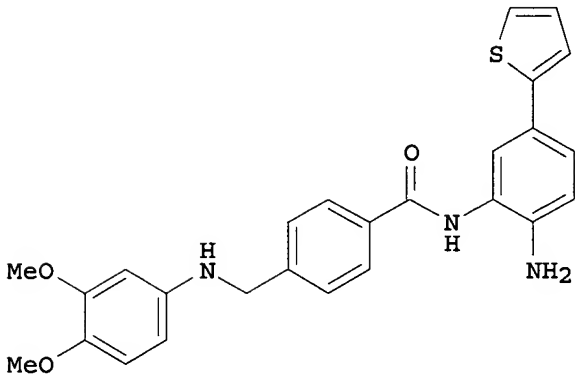

II

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as **inhibitors** of histone **deacetylase**. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction The **inhibitory** capability of I towards antiproliferative activity of histone **deacetylase** enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μM. I as histone **deacetylase inhibitors** should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:589250 CAPLUS
DN 141:140470
TI Preparation of aminophenylbenzamides as **inhibitors** of histone **deacetylase**
IN Delorme, Daniel; Zhou, Zhihong
PA Methylgene, Inc., Can.
SO U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 2004142953	A1	20040722	US 2003-358556	20030204
	US 6897220	B2	20050524		
	US 2004106599	A1	20040603	US 2002-242304	20020912
	AU 2004210016	A1	20040819	AU 2004-210016	20040204
	CA 2515338	AA	20040819	CA 2004-2515338	20040204
	WO 2004069823	A1	20040819	WO 2004-CA139	20040204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1590340	A1	20051102	EP 2004-707852	20040204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1723207	A	20060118	CN 2004-80001769	20040204
	BR 2004007195	A	20060214	BR 2004-7195	20040204
	US 2006058298	A1	20060316	US 2005-81095	20050315
	JP 2005255683	A2	20050922	JP 2005-80310	20050318
	US 2005288282	A1	20051229	US 2005-91025	20050325
PRAI	US 2001-322402P	P	20010914		
	US 2002-391728P	P	20020626		
	US 2002-242304	A2	20020912		
	JP 2003-528544	A3	20020912		
	US 2003-358556	A	20030204		
	WO 2004-CA139	W	20040204		

OS MARPAT 141:140470

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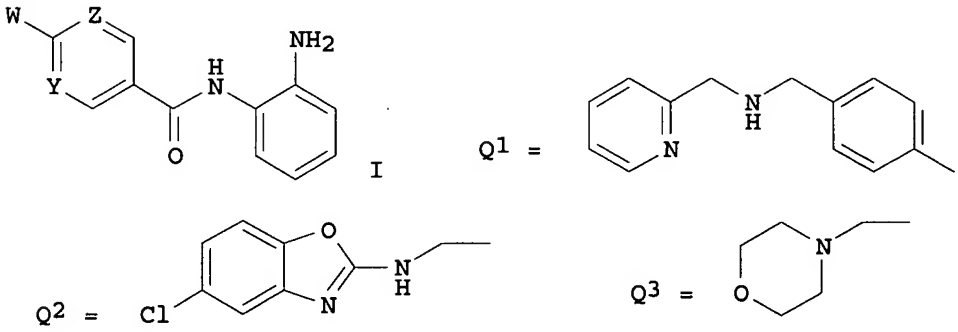

AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared Thus, 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et3N, BOP, and 1,2-phenylenediamine to give 63% 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC50 = 0.4 μM.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:633649 CAPLUS
DN 139:179896
TI Preparation of biphenyl hydroxamic acids as **inhibitors** of histone **deacetylase** useful against cancer
IN Leahy, Ellen M.; Verner, Erik J.
PA Axys Pharmaceuticals, USA

SO PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066579	A2	20030814	WO 2003-US3846	20030207
	WO 2003066579	A3	20031030		
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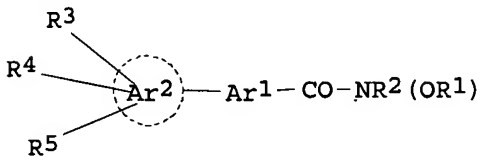
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2473505	AA	20030814	CA 2003-2473505	20030207
	AU 2003215112	A1	20030902	AU 2003-215112	20030207
	US 2004091951	A1	20040513	US 2003-360534	20030207
	EP 1472216	A2	20041103	EP 2003-710929	20030207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005517007	T2	20050609	JP 2003-565954	20030207
	US 2006058553	A1	20060316	US 2005-503508	20051012
PRAI	US 2002-355700P	P	20020207		
	WO 2003-US3846	W	20030207		

OS MARPAT 139:179896
GI



I

AB The present invention is directed to certain bicyclic hydroxamic acids (shown as I; variables defined below; e.g. N-hydroxy-4-(3-methoxyphenyl)benzamide) that are **inhibitors** of histone **deacetylase** (no data) and are therefore useful in the treatment of diseases associated with histone **deacetylase** activity. Pharmaceutical compns. (5 examples) and processes for preparing these compds. are also disclosed. For I: R1 is H or alkyl; R2 is H; Ar1 is phenylene or a six membered heteroarylene ring containing one or two N ring atoms, the rest of the ring atoms being C; wherein said Ar1 group is (un)substituted with one or two alkyl, halo, hydroxy, alkoxy, haloalkoxy, or haloalkyl; Ar2 is aryl, **benzimidazol**-2-yl, cycloalkyl or heterocycloalkyl; R3 is H, alkyl, halo, hydroxy, or alkoxy. R4 and R5 = H, alkyl, halo, haloalkyl, nitro, cyano, carboxy, carboxyalkyl, alkoxycarbonyl, (un)substituted Ph, (un)substituted heteroaryl, (un)substituted heterocycloalkyl, cycloalkyl, heterocycloaminoalkyl, -X-R6, or -(C1-6alkylene)-Y-R7 where X and Y = -O-, -S-, -SO-, -SO2-, -NR8-, -CO-, -NR9CO-, -CONR10-, -NR11SO2-, -SO2NR12-, -NHC(O)O-, -OC(O)NH-, -NR13CONR14-, or -NR15SO2NR16-; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, .apprx.20 example prepns. of I are included.

L13 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:242160 CAPLUS
DN 138:271705
TI Preparation of triazinyl and other carboxamides as **inhibitors** of histone **deacetylase**
IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024448	A2	20030327	WO 2002-US29017	20020912
	WO 2003024448	A3	20031113		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2465978	AA	20030327	CA 2002-2465978	20020912
	EP 1429765	A2	20040623	EP 2002-763627	20020912
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002012510	A	20040824	BR 2002-12510	20020912
	JP 2005508905	T2	20050407	JP 2003-528544	20020912
	JP 2005255683	A2	20050922	JP 2005-80310	20050318
PRAI	US 2001-322402P	P	20010914		
	US 2002-391728P	P	20020626		
	JP 2003-528544	A3	20020912		
	WO 2002-US29017	W	20020912		

OS MARPAT 138:271705
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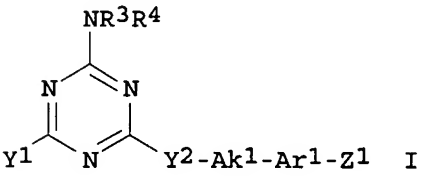

I

AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as **inhibitors** of histone **deacetylase** (data included for many I and II). The invention provides compds. and methods for inhibiting histone **deacetylase** enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone **deacetylase inhibitors** and histone **deacetylase** antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6

heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chemical bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chemical bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chemical bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of preparation are not claimed, hundreds of example prepns. are included.

L13 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:907188 CAPLUS
DN 138:1673
TI **Inhibitors** of histone **deacetylase** and their therapeutic use
IN Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.
PA USA
SO U.S. Pat. Appl. Publ., 49 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002177594	A1	20021128	US 2001-45747	20011026
PRAI	US 2001-275770P	P	20010314		
	US 2001-308435P	P	20010726		

OS MARPAT 138:1673
AB Compds. having the formula (R4L2)nL1CR1R2R3 (n = 1,2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, alkylene-CONR5-alkylene, alkylene-O-alkylene; L2 = bond, C2-alkenylene, O, S, SO2, OC(:O)NR5, NR6C:O, C(:O)NR6, SO2NR6, NR6SO2, C(:N)O, NR6C(:O)NR6, C(:O)NR6NR6C:O; R1 = alkanoyl, alkoxycarbonyl, aminocarbonyl, carboxy, haloalkyl, heterocycle; R2,R3 = OH or R2,R3 together = oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl; R5,R6 = hydrogen, alkyl, aryl, arylalkyl; R4,R6 and N to which they are attached = heterocycle) or therapeutically acceptable salts thereof, are histone **deacetylase** (HDAC) **inhibitors**. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed. Thus, more than 200 histone **deacetylase inhibitors** (no data) were

synthesized.

L13 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:449627 CAPLUS
DN 137:33319
TI Preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivatives and related compounds as **inhibitors** of histone **deacetylase**
IN Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046129	A2	20020613	WO 2001-US50931	20011026
	WO 2002046129	A3	20030116		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002103192	A1	20020801	US 2001-808389	20010314
	AU 2002043402	A5	20020618	AU 2002-43402	20011026
PRAI	US 2000-697387	A	20001026		
	US 2001-808389	A	20010314		
	WO 2001-US50931	W	20011026		

OS MARPAT 137:33319
AB Compds. having the formula (R4-L2)nL1-CR1R2R3 or therapeutically acceptable salts thereof [wherein n = 1, 2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, (alkylene)-C(O)N(R5)-(alkylene), (alkylene)-O-(alkylene) (wherein each group is drawn with its left-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to the carbon substituted with R1, R2, and R3); L2 =, C2 alkenylene, O, S, SO2, OC(O)NR5, N(R6)C(O), C(O)N(R6), SO2N(R6), N(R6)SO2, C:N-O, N(R6)C(O)N(R6), and C(O)N(R6)N(R6)C(O) (wherein each group is drawn with its left-hand end being the end which attaches to R4, and its right-hand end being the end which attaches to L1); R1 is selected from the group consisting of alkanoyl, alkoxycarbonyl, CONH2, CO2H, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of **oxazolyl**, dihydrooxazolyl, oxadiazolyl, and tetrazolyl); R2 = R3 = HO; or R2 and R3 together are oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclylalkyl; R5, R6 = H, alkyl, aryl, arylalkyl; or R5 and R6, together with the nitrogen atom to which they are attached, form a heterocycle selected from the group consisting of (un)substituted morpholinyl, piperazinyl, **piperidinyl**, and thiomorpholinyl], which are histone **deacetylase** (HDAC) **inhibitors** (no data), are prepared These compds. are used for the treatment of diseases, possibly e.g. several human cancers associated with malfunction in histone deacetylases. Thus, a mixture of 9,9,9-trifluoro-8-oxononanoic acid (50 mg, 0.22 mmol), HOBt (30 mg, 0.22 mmol), carbodiimide PS resin (720 mg), and 4-phenyl-1,3-thiazol-2-amine (0.27 mmol) in DMF (5 mL) at room temperature was agitated in a Quest 210 parallel synthesizer for 18 h, treated with trisamine PS resin (220 mg), and agitated for 2 h. The solution was decanted, the resin was rinsed with dichloromethane, and the combined

solns. were concentrated, followed by purification using preparative HPLC with a gradient system of 0 to 95 % over 10 min of MeCN (containing 0.1% CF3CO2H) in water to give 9,9,9-trifluoro-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide.

```
=> analyze l13
ENTER ANSWER NUMBER OR RANGE (1-):1-8
ENTER DISPLAY CODE (TI) OR ?:rn
L14         ANALYZE L13 1-8 RN :    2801 TERMS

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     192.65     366.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -41.25     -41.25

FILE 'REGISTRY' ENTERED AT 16:18:26 ON 16 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   15 MAY 2006  HIGHEST RN 884382-45-0
DICTIONARY FILE UPDATES:  15 MAY 2006  HIGHEST RN 884382-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> s l14
L15       2801 L14

=> d his

     (FILE 'HOME' ENTERED AT 16:04:47 ON 16 MAY 2006)
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L1                STRUC
L2              0 S L1
L3              2 S L1 FUL
L4                STRUC
L5              3 S L4
L6              3 S L5 NOT L3

     FILE 'CAPLUS' ENTERED AT 16:10:12 ON 16 MAY 2006
L7              1 S L6
L8              2 S L3
L9             41 S (DEACETYLASE(L)INHIBITOR?) AND PIPERIDIN?
L10            41 S L9 NOT (L7 OR L8)
L11            23 S L10 AND (PYRROL? OR PYRAZO? OR OXAZO? OR FURAN? OR THIEN?)
L12            10 S L10 AND BENZIMIDAZ?
L13             8 S L12 AND L11
L14           ANALYZE L13 1-8 RN :    2801 TERMS

     FILE 'REGISTRY' ENTERED AT 16:18:26 ON 16 MAY 2006
L15         2801 S L14

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L4 HAS NO ANSWERS
L4                STR
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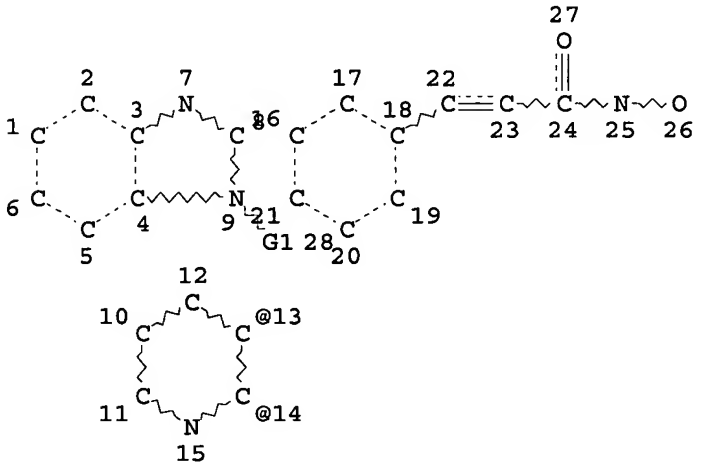


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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC  16   9
NUMBER OF NODES IS  28

STEREO ATTRIBUTES: NONE


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ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l15
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 16:18:58 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -        0 TO ITERATE
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100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

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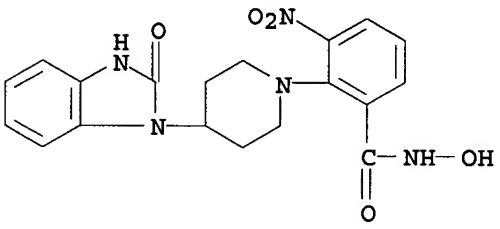
=> s l15 and benzimidazo?
329429 BENZIMIDAZO?
L17 81 L15 AND BENZIMIDAZO?

=> s l17 and piperidin?
946038 PIPERIDIN?
L18 2 L17 AND PIPERIDIN?

=> d 1-2

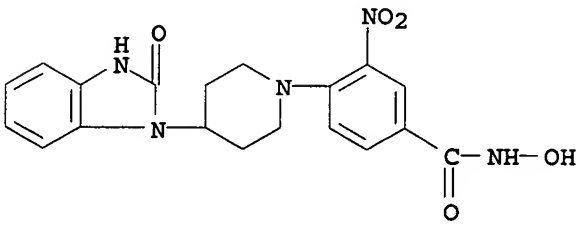
L18 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN **603986-54-5** REGISTRY
ED Entered STN: 14 Oct 2003
CN **Benzamide, 2-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-N-hydroxy-3-nitro- (9CI)** (CA INDEX NAME)
FS 3D CONCORD
MF C19 H19 N5 O5
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L18 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN **603986-38-5** REGISTRY
ED Entered STN: 14 Oct 2003
CN **Benzamide, 4-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-N-hydroxy-3-nitro- (9CI)** (CA INDEX NAME)
FS 3D CONCORD
MF C19 H19 N5 O5
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	180.70	547.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-41.25

FILE 'REGISTRY' ENTERED AT 16:19:45 ON 16 MAY 2006

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STRUCTURE FILE UPDATES: 15 MAY 2006 HIGHEST RN 884382-45-0
DICTIONARY FILE UPDATES: 15 MAY 2006 HIGHEST RN 884382-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

```
=> s l18
        946038 PIPERIDIN?
L19          2 L17 AND PIPERIDIN?

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'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
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The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN
SAM - Index Name, MF, and structure - no RN
FIDE - All substance data, except sequence data
IDE - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD - Protein sequence data, includes RN
SQD3 - Same as SQD, but 3-letter amino acid codes are used
SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):bib abs hitstr
'BIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

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REG     - RN
SAM     - Index Name, MF, and structure - no RN
FIDE    - All substance data, except sequence data
IDE     - FIDE, but only 50 names
SQIDE   - IDE, plus sequence data
SQIDE3  - Same as SQIDE, but 3-letter amino acid codes are used
SQD     - Protein sequence data, includes RN
SQD3    - Same as SQD, but 3-letter amino acid codes are used
SQN     - Protein sequence name information, includes RN

CALC    - Table of calculated properties
EPROP   - Table of experimental properties
PROP    - EPROP and CALC

Any CA File format may be combined with any substance format to
obtain CA references citing the substance.  The substance formats
must be cited first.  The CA File predefined formats are:

ABS  -- Abstract
APPS -- Application and Priority Information
BIB  -- CA Accession Number, plus Bibliographic Data
CAN  -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND  -- Index Data
IPC  -- International Patent Classification
PATS -- PI, SO
STD  -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.

For additional information, please consult the following help
messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
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     (FILE 'HOME' ENTERED AT 16:04:47 ON 16 MAY 2006)

     FILE 'REGISTRY' ENTERED AT 16:05:20 ON 16 MAY 2006
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L2            0 S L1
L3            2 S L1 FUL
L4              STRUC
L5            3 S L4
L6            3 S L5 NOT L3

     FILE 'CAPLUS' ENTERED AT 16:10:12 ON 16 MAY 2006
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L7            1 S L6
L8            2 S L3
L9           41 S (DEACETYLASE(L)INHIBITOR?) AND PIPERIDIN?
L10          41 S L9 NOT (L7 OR L8)
L11          23 S L10 AND (PYRROL? OR PYRAZO? OR OXAZO? OR FURAN? OR THIEN?)
L12          10 S L10 AND BENZIMIDAZ?
L13           8 S L12 AND L11
L14          ANALYZE L13 1-8 RN :    2801 TERMS

     FILE 'REGISTRY' ENTERED AT 16:18:26 ON 16 MAY 2006
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L16           0 SEARCH L4 SSS  SUB=L15 FUL
L17          81 S L15 AND BENZIMIDAZO?
L18           2 S L17 AND PIPERIDIN?

     FILE 'REGISTRY' ENTERED AT 16:19:45 ON 16 MAY 2006
L19           2 S L18
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=> s l18
L20             2 L18

=> d bib abs hitstr 1-2

L20  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:300395  CAPLUS
DN   142:355054
TI   Preparation of amide derivatives as inhibitors of histone deacetylase
IN   Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie;
     Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy
     C.
PA   Methylgene, Inc., Can.
SO   PCT Int. Appl., 559 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2005030705        A1    20050407    WO 2004-US31591         20040924
     WO 2005030705        C2    20060420
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRAI US 2003-505884P      P     20030924
     US 2003-532973P      P     20031229
     US 2004-561082P      P     20040409
OS   MARPAT 142:355054
GI
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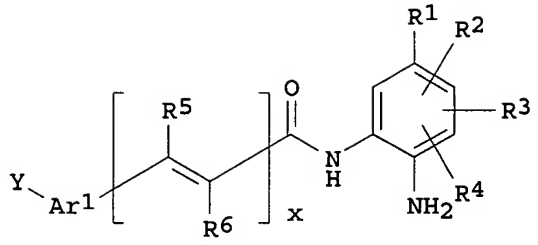

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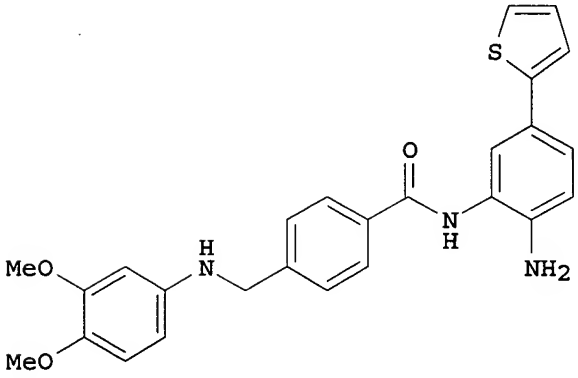


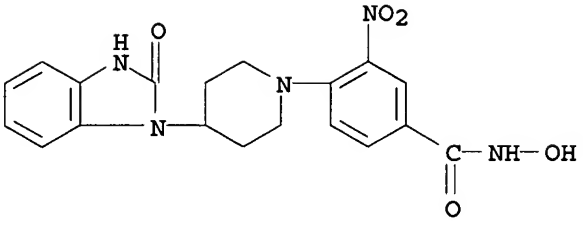
II

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction The inhibitory capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μM. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

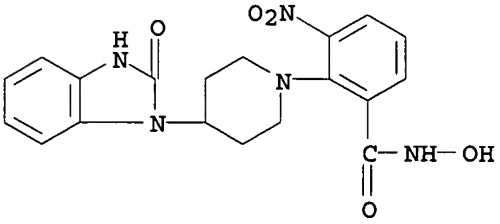
IT **603986-38-5P 603986-54-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 603986-38-5 CAPLUS

CN Benzamide, 4-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-N-hydroxy-3-nitro- (9CI) (CA INDEX NAME)



RN 603986-54-5 CAPLUS
CN Benzamide, 2-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-N-hydroxy-3-nitro- (9CI) (CA INDEX NAME)

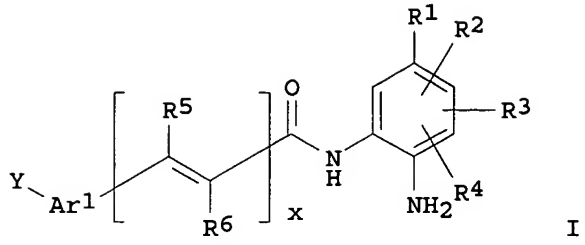


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:300394 CAPLUS
DN 142:373563
TI Preparation of amide derivatives as inhibitors of histone deacetylase
IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 389 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030704	A1	20050407	WO 2004-US31590	20040924
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2003-505884P	P	20030924		
	US 2003-532973P	P	20031229		
	US 2004-561082P	P	20040409		

OS MARPAT 142:373563
GI



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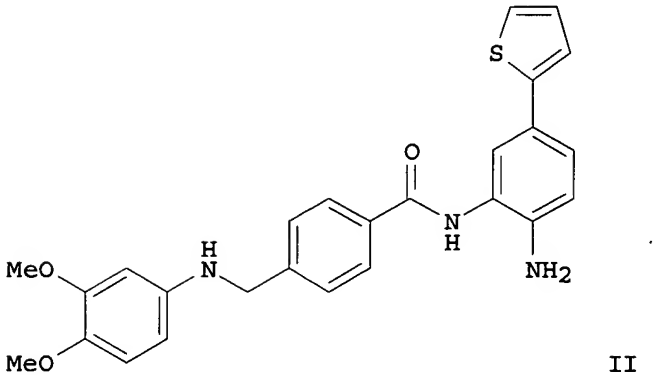


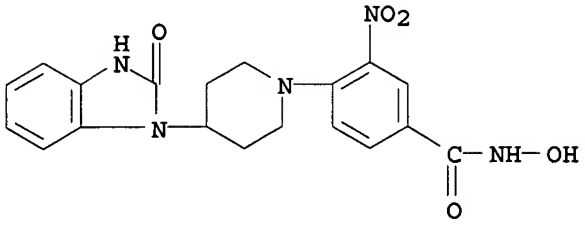
II

AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused poly-cyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction The inhibitory capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC 50 values in the range of below 1 up to 20 μM. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

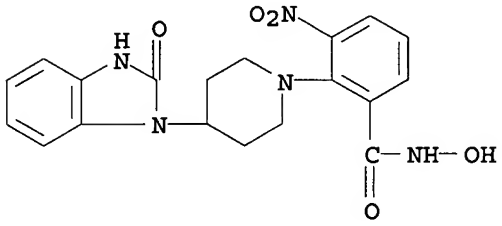
IT **603986-38-5P 603986-54-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 603986-38-5 CAPLUS

CN Benzamide, 4-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-N-hydroxy-3-nitro- (9CI) (CA INDEX NAME)



RN 603986-54-5 CAPLUS
CN Benzamide, 2-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-N-hydroxy-3-nitro- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2004:799454 CAPLUS
DN 141:291229
TI Histone deacetylase inhibitors
IN Bressi, Jerome C.; Brown, Jason W.; Cao, Sheldon X.; Gangloff, Anthony R.; Jennings, Andrew J.; Stafford, Jeffrey A.; Vu, Phong H.; Xiao, Xiao-Yi
PA Syrrx, Inc., USA
SO PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004082638	A2	20040930	WO 2004-US8342	20040317
	WO 2004082638	A3	20050506		
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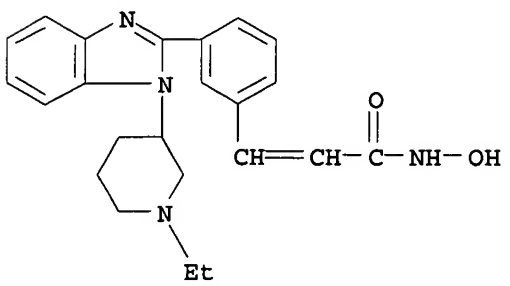
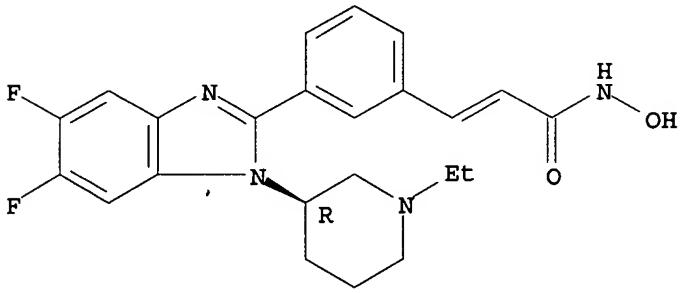
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2518318	AA	20040930	CA 2004-2518318	20040317
	US 2004254220	A1	20041216	US 2004-803575	20040317
	US 2004266769	A1	20041230	US 2004-803344	20040317
	US 2005137232	A1	20050623	US 2004-803580	20040317
	EP 1608628	A2	20051228	EP 2004-757631	20040317
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRAI	US 2003-455437P	P	20030317		
	US 2003-531203P	P	20031219		
	WO 2004-US8342	W	20040317		

OS MARPAT 141:291229
AB Compds. that may be used to inhibit histone deacetylase are disclosed. Thus, 119 compds. were prepared which exhibited better than 1000 nM IC50 against HDAC1, HDAC2, HDAC6, and HDAC8 (suberanilohydroxamic acid showed an IC50 of 63 nM in this assay). Many of these compds. were 3-[3-(1-substituted-1H-benzoimidazol-2-yl)phenyl]acrylic acids and N-hydroxy-[3-(1-substituted-1H-benzoimidazol-2-yl)phenyl]acrylamides.
IT **758693-30-0 758694-08-5 758694-10-9**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(histone deacetylase inhibitors)
RN 758693-30-0 CAPLUS
CN 2-Propenamide, 3-[3-[1-(1-ethyl-3-piperidinyl)-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)



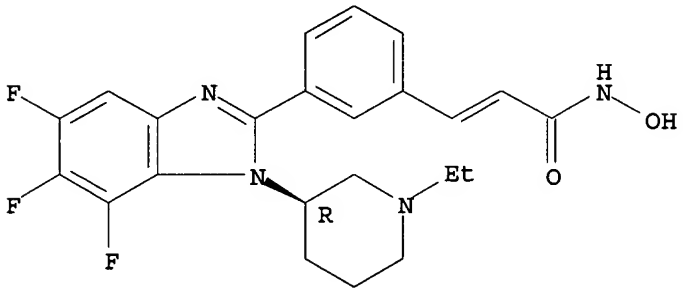
RN 758694-08-5 CAPLUS
CN 2-Propenamide, 3-[3-[1-[(3R)-1-ethyl-3-piperidinyl]-5,6-difluoro-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 758694-10-9 CAPLUS
CN 2-Propenamide, 3-[3-[1-[(3R)-1-ethyl-3-piperidinyl]-5,6,7-trifluoro-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



AN 2002:220554 CAPLUS
DN 136:262995
TI Preparation of hydroxamic acids as deacetylase inhibitors
IN Bair, Kenneth Walter; Green, Michael A.; Perez, Lawrence B.; Remiszewski, Stacy W.; Sambucetti, Lidia; Versace, Richard William; Sharma, Sushil Kumar
PA Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022577	A2	20020321	WO 2001-EP10037	20010830
	WO 2002022577	A3	20020906		
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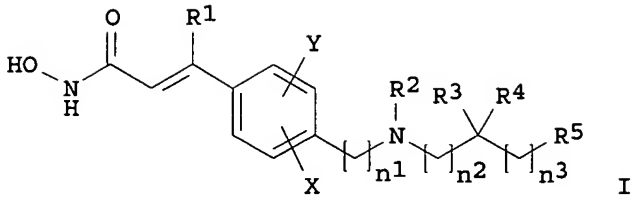
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2420899	AA	20020321	CA 2001-2420899	20010830
	AU 2001082129	A5	20020326	AU 2001-82129	20010830
	BR 2001013669	A	20030603	BR 2001-13669	20010830
	EP 1318980	A2	20030618	EP 2001-960717	20010830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004509105	T2	20040325	JP 2002-526830	20010830
	NZ 524365	A	20041126	NZ 2001-524365	20010830
	US 2003018062	A1	20030123	US 2001-944275	20010831
	US 6552065	B2	20030422		
	US 2004024067	A1	20040205	US 2002-299518	20021116
	ZA 2003001423	A	20040421	ZA 2003-1423	20030221
	NO 2003000867	A	20030225	NO 2003-867	20030225
	US 2005085507	A1	20050421	US 2004-984501	20041109
PRAI	US 2000-229943P	P	20000901		
	US 2001-292232P	P	20010518		
	US 2001-307490P	P	20010724		
	WO 2001-EP10037	W	20010830		
	US 2001-944275	A1	20010831		
	US 2002-299518	A1	20021116		

OS MARPAT 136:262995
GI



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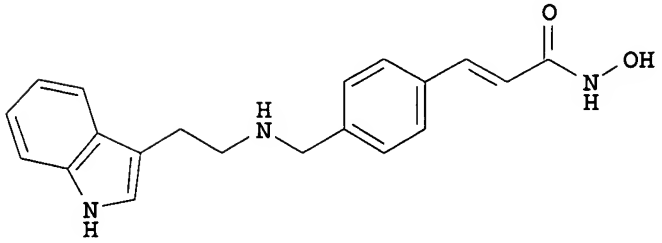


II

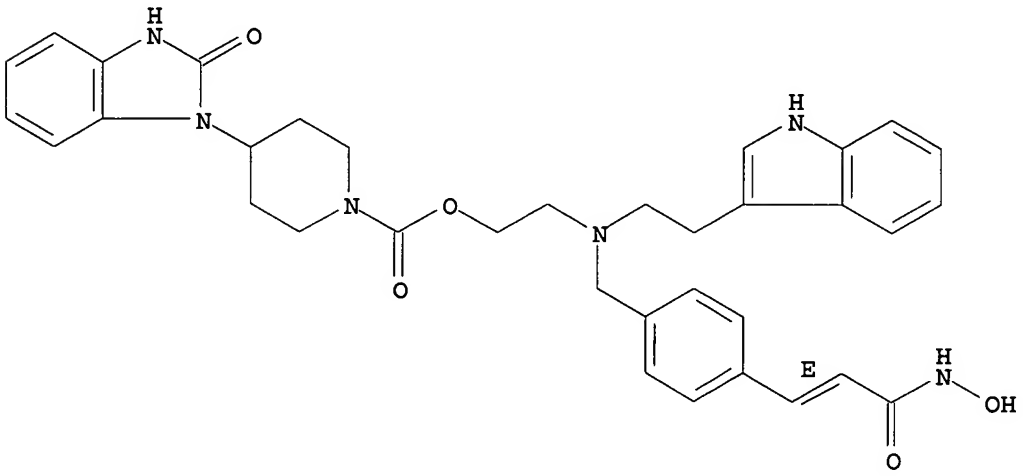
AB The title compds. [I; R1 = H, halo, alkyl; R2 = H, alkyl, cycloalkyl, etc.; R3, R4 = H, alkyl, acyl, acylamino; or R3 and R4 together with the carbon atom to which they are bound = CO, CS, C:NR8; or R2 together with the N atom to which is bound and R3 together with the C atom to which it is bound form heterocycloalkyl, heteroaryl, etc.; R5 = H, alkyl, aryl, etc.; n1-n3 = 0-6; X, Y = H, halo, alkyl, etc.; R8 = H, alkyl, aryl, etc.] which are deacetylase inhibitors and therefore suitable for pharmaceutical compns. having anti-proliferative properties, were prepared E.g., a 3-step synthesis of II, starting with 4-formylcinnamic acid, was given. The exemplified compds. I showed IC50 of 0.005-0.5 μM against HDA.

IT **404949-04-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as deacetylase inhibitors)

RN 404949-04-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-, 2-[[[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propenyl]phenyl]methyl][2-(1H-indol-3-yl)ethyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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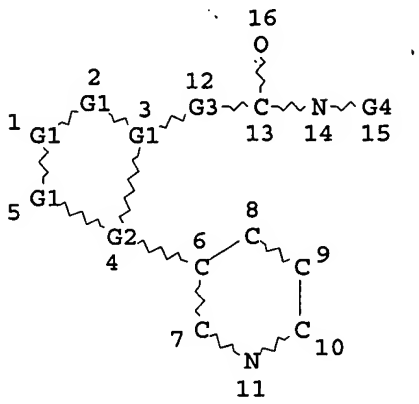


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VAR G2=C/N
REP G3=(0-10) CH
VAR G4=O/CY
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   6   4
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE


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FULL SCREEN SEARCH COMPLETED -   731027 TO ITERATE

 98.2% PROCESSED   718034 ITERATIONS                                2 ANSWERS

100.0% PROCESSED   731027 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.29

L3            2 SEA SSS FUL L1

=> d 1-2

L3   ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   709654-55-7  REGISTRY
ED   Entered STN:  14 Jul 2004
CN   1H-Pyrazole-5-carboxamide, N-[2'-[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-
     biphenyl]-4-yl]-3-methyl-1-(3-piperidinyl)- (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C26 H33 N5 O3 S
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT
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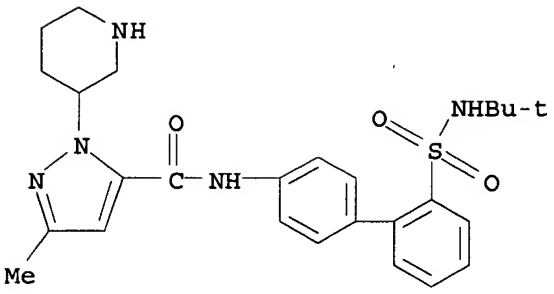
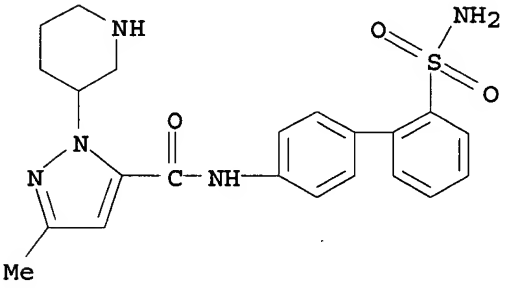


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 629610-26-0 REGISTRY
ED Entered STN: 22 Dec 2003
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(3-piperidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H25 N5 O3 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	174.26	174.47

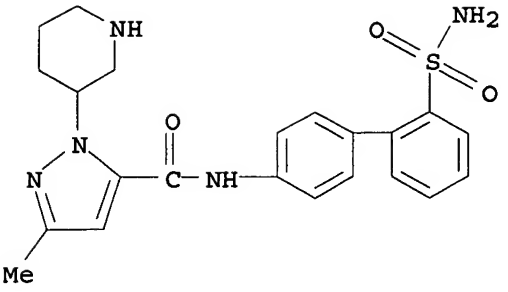
FILE 'CAPLUS' ENTERED AT 17:08:14 ON 17 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 16 May 2006 (20060516/ED)

=> s l3
L4 2 L3

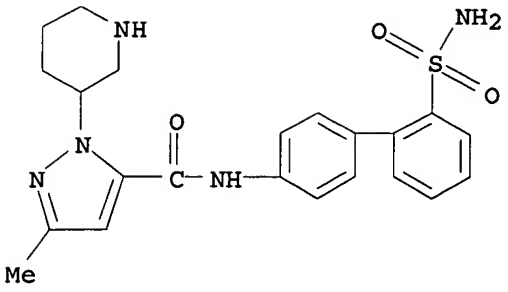
=> d bib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:165187 CAPLUS
DN 144:304521
TI Comparative study of factor Xa inhibitors using molecular docking/SVM/HQSAR/3D-QSAR methods
AU Sun, Jing; Chen, Hai Feng; Xia, Hai Rong; Yao, Jian Hua; Fan, Bo Tao
CS Laboratory of Computer Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SO QSAR & Combinatorial Science (2006), 25(1), 25-45
CODEN: QCSSAU; ISSN: 1611-020X
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB The binding modes of a group of Factor Xa (fXa) inhibitors were studied using FlexX. CoMFA, CoMSIA, HQSAR and SVM models for inhibition potency were constructed with the conformers obtained from the mol. docking. 3D-QSAR models for oral bioavailability were also constructed with the subset inhibitors. The results show that these models possess good prediction ability. The influence of substituents for the activity and oral bioavailability were explored by comparing the constructed 3D-QSAR models. We found that some substituents have consistent effects on inhibition potency and oral bioavailability, but some have inconsistent effects. We observed equally that the different methods involved in this study, such as mol. docking, SVM, HQSAR and 3D-QSAR models, could be used not only for the prediction, but they are also complementary each to other. They are helpful for better understanding the interaction mechanism between inhibitors and fXa receptor.
IT **629610-26-0**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative study of factor Xa inhibitors using mol. docking/SVM/HQSAR/QSAR methods)
RN 629610-26-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(3-piperidinyl)- (9CI) (CA INDEX NAME)

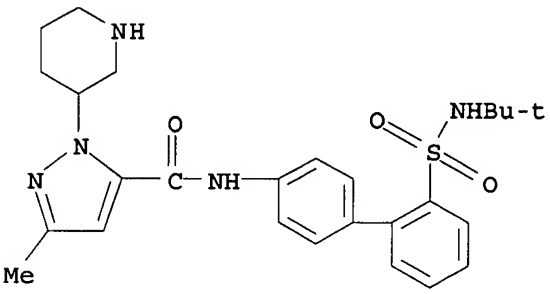


RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:784065 CAPLUS
DN 140:12453
TI Structure-based design of novel guanidine/benzamidine mimics: potent and orally bioavailable factor Xa inhibitors as novel anticoagulants
AU Lam, Patrick Y. S.; Clark, Charles G.; Li, Renhua; Pinto, Donald J. P.; Orwat, Michael J.; Galemmo, Robert A.; Fevig, John M.; Teleha, Christopher A.; Alexander, Richard S.; Smallwood, Angela M.; Rossi, Karen A.; Wright, Matthew R.; Bai, Stephen A.; He, Kan; Luettgen, Joseph M.; Wong, Pancras C.; Knabb, Robert M.; Wexler, Ruth R.
CS Bristol-Myers Squibb Company, Princeton, NJ, 08542-5400, USA
SO Journal of Medicinal Chemistry (2003), 46(21), 4405-4418
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 140:12453
AB As part of an ongoing effort to prepare orally active factor Xa inhibitors using structure-based drug design techniques and mol. recognition principles, a systematic study has been performed on the pharmacokinetic profile resulting from replacing the benzamidine in the P1 position with less basic benzamidine mimics or neutral residues. It is demonstrated that lowering the pKa of the P1 ligand resulted in compds. (3-benzylamine, 15a; 1-aminoisoquinoline, 24a; 3-aminobenzisoxazole, 23a; 3-phenylcarboxamide, 22b; and 4-methoxyphenyl, 22a) with improved pharmacokinetic features mainly as a result of decreased clearance, increased volume of distribution, and enhanced oral absorption. This work resulted in a series of potent and orally bioavailable factor Xa inhibitors that ultimately led to the discovery of SQ311, 24a. SQ311, which utilizes a 1-aminoisoquinoline as the P1 ligand, inhibits factor Xa with a Ki of 0.33 nM and demonstrates both good in vivo antithrombotic efficacy and oral bioavailability.
IT **629610-26-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(guanidine/benzamidine mimics as potent and orally bioavailable factor Xa inhibitors and anticoagulants)
RN 629610-26-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(3-piperidinyl)- (9CI) (CA INDEX NAME)



IT 709654-55-7
RL: RCT (Reactant); SPN (Synthetic preparation)
(guanidine/benzamidine mimics as potent and orally bioavailable factor Xa inhibitors and anticoagulants)
RN 709654-55-7 CAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[2'-[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl-1-(3-piperidinyl)- (9CI) (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L27 HAS NO ANSWERS
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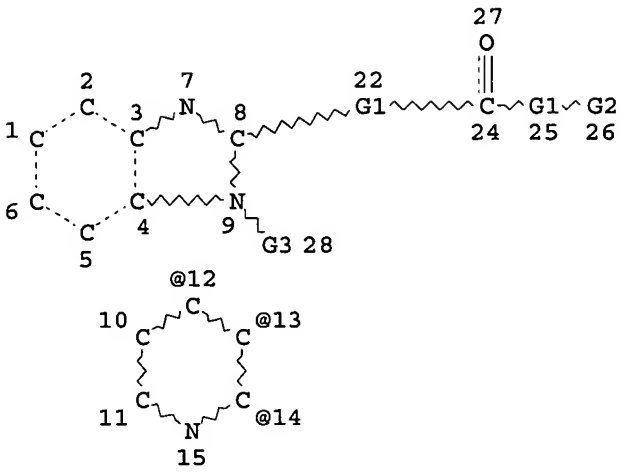

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VAR G2=O/S/N
VAR G3=12/13/14
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

=> s l27 ful
FULL SEARCH INITIATED 16:29:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 681 TO ITERATE

100.0% PROCESSED 681 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

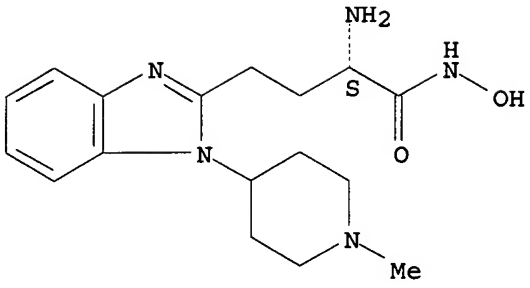
L29 7 SEA SSS FUL L27

=> d scan

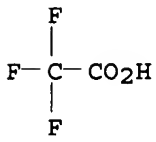
L29 7 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1H-Benzimidazole-2-butanamide, α-amino-N-hydroxy-1-(1-methyl-4-piperidinyl)-, (αS)-, trifluoroacetate (salt) (9CI)
MF C17 H25 N5 O2 . x C2 H F3 O2

CM 1

Absolute stereochemistry.



CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	170.90	736.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-42.75

FILE 'CAPLUS' ENTERED AT 16:29:34 ON 16 MAY 2006
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FILE COVERS 1907 - 16 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 15 May 2006 (20060515/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l29
L30 6 L29

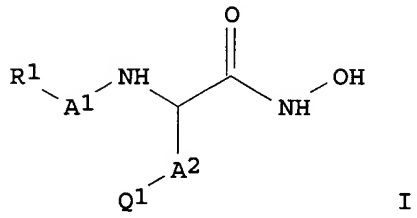
=> d bib abs hitstr 1-6

L30 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:729627 CAPLUS
DN 143:212171
TI Preparation of hydroxamic acid derivatives as AGE generation inhibitors
IN Kakuchi, Junji; Yamazaki, Toru; Obara, Kazumi; Yamato, Hideyuki
PA Kureha Chemical Industry Company, Limited, Japan
SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

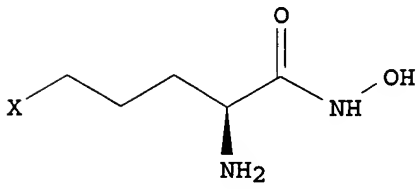
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005073180	A1	20050811	WO 2004-JP19512	20041227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-428901 A 20031225
OS MARPAT 143:212171
GI



I



II

AB Title compds. I [R1 = H, alkyl, etc.; A1, A2 = single bond, etc.; Q1 = -Y1-A3-R2, etc.; Y1 = O, etc.; A3 = single bond, etc.; R2 = alkyl, etc.] were prepared For example, reductive amination of EDCI mediated resin bound Nα-BOC-ornithine hydroxamic acid with propionaldehyde using sodium cyanoborohydride followed by treatment with trifluoroacetic acid afforded compound II [X = dipropylamino] trifluoroacetic acid salt. In Maillard reaction inhibition assays, compound II [X = bis(4-methylbenzyl)amino] trifluoroacetic acid salt showed the activity of 100% at 0.1 mM. Compds. I are claimed useful as AGE generation inhibitors.

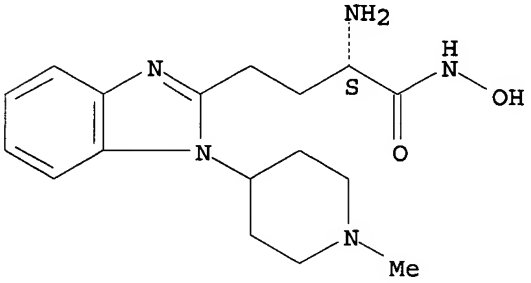
IT **862400-22-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of hydroxamic acid derivs. as AGE generation inhibitors)
RN 862400-22-4 CAPLUS
CN 1H-Benzimidazole-2-butanamide, α-amino-N-hydroxy-1-(1-methyl-4-piperidinyl)-, (αS)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

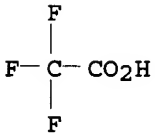
CRN 862400-21-3
CMF C17 H25 N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:10123 CAPLUS
DN 136:64091
TI Method and system for predicting pharmacokinetic properties
IN Hattori, Kazunari; Shimada, Kaore; Uchiyama, Mamoru
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1167969	A2	20020102	EP 2001-304648	20010525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003069698	A1	20030410	US 2001-876767	20010607
JP 2003014728	A2	20030115	JP 2001-179774	20010614
PRAI US 2000-211864P	P	20000614		

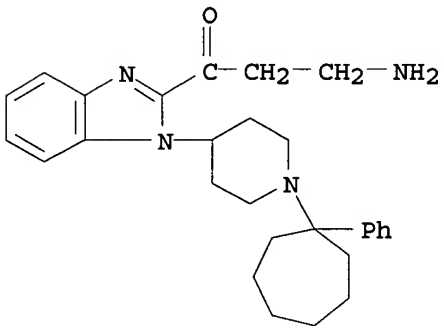
AB This invention provides a method for predicting pharmacokinetic properties of mols. comprising the steps of: (a) preparing 2D-structures of mols. used as a training set; (b) constructing a 2D-fingerprint by counting the number

of structural descriptors that potentially relate to a pharmacokinetic property, either manually or automatically using internally developed macro; wherein said structural descriptors consist of predefined 20 to 80 atoms/fragments or substructures; (c) analyzing the obtained 2D-fingerprint by a statistical anal. method to correlate with the pharmacokinetic property of the mol. to yield a quant. structure-property relation (QSPR) model; and (d) calculating the pharmacokinetic property of a trial mol. using the above obtained QSPR model. A system for this invention is also provided. According to this method and system, it is possible to predict pharmacokinetic properties of mols. prior to synthesis, without labor-intensive and time-consuming experimentation.

IT **258286-85-0**
RL: PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study)
(method and system for predicting pharmacokinetic properties)

RN 258286-85-0 CAPLUS

CN 1-Propanone, 3-amino-1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L30 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:573269 CAPLUS

DN 135:152805

TI Preparation of benzimidazoles as ORL1-receptor agonists for analgesics

IN Ito, Fumitaka; Noguchi, Hirohide; Ohashi, Yoriko; Shimokawa, Hirohisa

PA Pfizer Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF

DT Patent

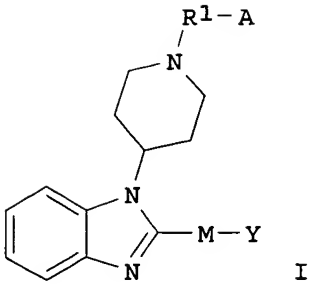
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001213878	A2	20010807	JP 2000-396414	20001227
	JP 3392402	B2	20030331		
	EP 1122257	A1	20010808	EP 2000-311316	20001218
	EP 1122257	B1	20051012		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 306488	E	20051015	AT 2000-311316	20001218
	ES 2249237	T3	20060401	ES 2000-311316	20001218
	CA 2330092	AA	20010705	CA 2001-2330092	20010103
	CA 2330092	C	20050322		
	US 2002049212	A1	20020425	US 2001-753954	20010103
	US 6861425	B2	20050301		
	BR 2001000014	A	20010828	BR 2001-14	20010104
PRAI	US 2000-174542P	P	20000105		

OS MARPAT 135:152805

GI



I

AB Title compds. I [R1 = C3-11 cycloalkyl, C6-16 bicycloalkyl, C6-16 tricycloalkyl, C8-16 tetracycloalkyl, etc.; A = (un)substituted C1-7 alkyl, C2-5 alkenyl, C2-5 alkynyl, aryl, etc.; M = single bond, CH2,O, S, SO, SO2, CO, NH, etc.; Y = 4- to 12-membered bicyclic carbon ring, 4- to 12- membered bicyclic hetero ring, 5- to 17-membered spiro carbon ring, 5- to 17-membered spiro hetero ring; Z1-Z4 = (un)substituted C1-4 alkyl, C1-4 alkoxy, C1-4 alkylsulfonyl, C1-4 alkylcarbonyl, carboxy, etc.] or their salts are prepared Tert-Bu 3-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-3,8-diazabicyclo[3.2.1]octane-8-carboxylate was treated with F3CCO2H in CH2Cl2 at room temperature for 0.5 h to give 77.6% 2-(3,8-diazabicyclo[3.2.1]oct-3-yl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazole HCl salt.

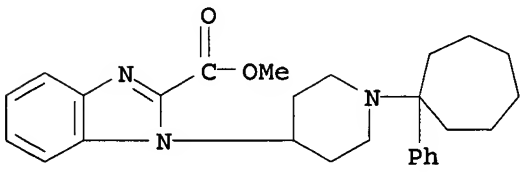
IT **352541-85-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazoles as ORL1-receptor agonists for analgesics)

RN 352541-85-6 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:117042 CAPLUS

DN 132:151821

TI Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.

IN Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi

PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000008013	A2	20000217	WO 1999-IB1239	19990705
	WO 2000008013	A3	20000323		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,

	TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	TW 513424	B	20021211	TW 1999-88110899	19990628
	CA 2339621	AA	20000217	CA 1999-2339621	19990705
	CA 2339621	C	20050405		
	AU 9943859	A1	20000228	AU 1999-43859	19990705
	AU 749166	B2	20020620		
	EP 1102762	A2	20010530	EP 1999-926688	19990705
	EP 1102762	B1	20021113		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200100403	T2	20010723	TR 2001-200100403	19990705
	BR 9912778	A	20010925	BR 1999-12778	19990705
	EE 200100075	A	20020617	EE 2001-75	19990705
	JP 2002522431	T2	20020723	JP 2000-563646	19990705
	JP 3367945	B2	20030120		
	AT 227716	E	20021115	AT 1999-926688	19990705
	PT 1102762	T	20030228	PT 1999-926688	19990705
	ES 2185357	T3	20030416	ES 1999-926688	19990705
	NZ 509299	A	20030530	NZ 1999-509299	19990705
	US 6172067	B1	20010109	US 1999-369208	19990805
	ZA 2001000900	A	20020603	ZA 2001-900	20010201
	HR 2001000089	A1	20020228	HR 2001-89	20010202
	HR 20010089	B1	20030430		
	NO 2001000603	A	20010405	NO 2001-603	20010205
	BG 105301	A	20011231	BG 2001-105301	20010301
	US 2003109549	A1	20030612	US 2002-283604	20021030
PRAI	WO 1998-IB1206	W	19980806		
	WO 1999-IB1239	W	19990705		
	US 1999-369208	A3	19990805		
	US 2000-676245	B1	20000929		
OS	MARPAT 132:151821				

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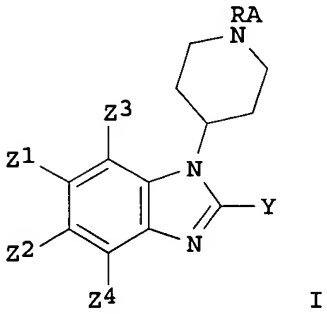


I

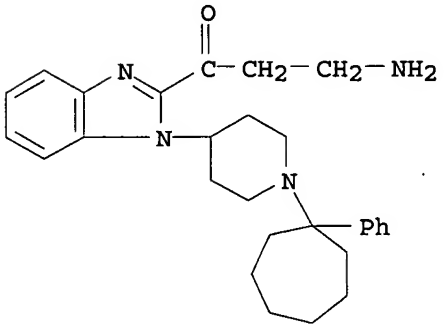
AB Title compds. [I; R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclyl; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkenyl-M, alkyl-NH-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NH S, SO, SO2, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.], were prepared as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (preparation given) was stirred with MeNH2 in MeOH in an autoclave at 110° for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.

IT **258286-85-0P 258287-70-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

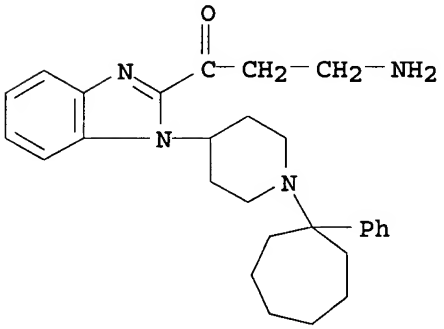
RN 258286-85-0 CAPLUS

CN 1-Propanone, 3-amino-1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 258287-70-6 CAPLUS

CN 1-Propanone, 3-amino-1-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L30 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:51439 CAPLUS

DN 126:89269

TI Preparation of heterocyclic compounds as cholesterol acyltransferase inhibitors

IN Natsukari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Sugyama, Yasuo; Morimoto, Shinji

PA Takeda Chemical Industries Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF

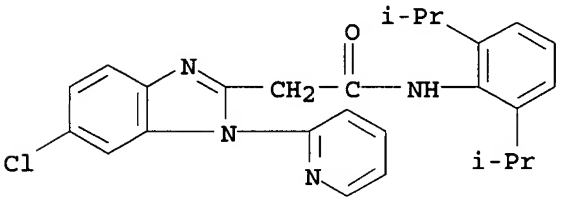
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08295667	A2	19961112	JP 1995-129433	19950427

PRAI JP 1995-129433 19950427
OS MARPAT 126:89269
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A, B = (un)substituted (hetero)cycle; X = N, CR1; R, R1 = H, (un)substituted hydrocarbyl; Y = (oxo)alkylene; Z = bond, alkylene; W = (un)substituted (hetero)cycle; when A, B = benzene ring, X = CR1, Y = CO, W = substituted cycle or (un)substituted heterocycle] are prepared I having a potent antagonism on tachykinin receptor (substance P receptor special) are useful as cholesterol acyltransferase (ACAT) inhibitors. Thus, N-[3,5-bis(trifluoromethyl)benzyl]-N'-(4-chloro-2-phenylaminophenyl)-N-methyloxamide (preparation given) was treated with HCl and reacted with AcONa in the presence of Pd/C under H atmospheric to give the title compound (II). II showed IC50 of 0.36 nM against tachykinin receptors.
IT **185332-19-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as cholesterol acyltransferase inhibitors)
RN 185332-19-8 CAPLUS
CN 1H-Benzimidazole-2-acetamide, N-[2,6-bis(1-methylethyl)phenyl]-6-chloro-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)

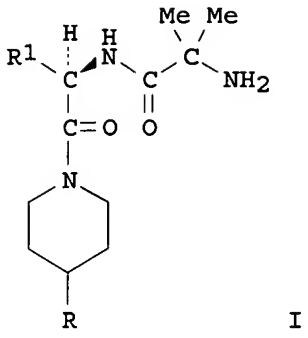


L30 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:466914 CAPLUS
DN 125:142559
TI 4-Heterocyclylpiperidines promote release of growth hormone
IN Nargund, Ravi; Patchett, Arthur A.; Yang, Lihu
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9613265	A1	19960509	WO 1995-US13584	19951023
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5767118	A	19980616	US 1994-329357	19941026
	CA 2202784	AA	19960509	CA 1995-2202784	19951023
	AU 9539647	A1	19960523	AU 1995-39647	19951023
	EP 785784	A1	19970730	EP 1995-937576	19951023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10506914	T2	19980707	JP 1995-514657	19951023
PRAI	US 1994-329357	A1	19941026		
	WO 1995-US13584	W	19951023		

OS MARPAT 125:142559

GI



I

AB The present invention is directed to certain novel compds. identified as 4-heterocycle substituted piperidines I (R = benzimidazolyl, benzoxazinyl, pyridiyl, quinazolinyl, etc., R1 = 3-phenylpropyl, benzyloxymethyl, indolylmethyl). These compds. promote the release of growth hormone in humans and animals. This property can be utilized to promote the growth of food animals to render the production of edible meat products more efficient, and in humans, to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children, and to treat medical conditions which are improved by the anabolic effects of growth hormone.

IT **179323-96-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of heterocyclylpiperidine growth hormone release promoters)

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CN 1H-Benzimidazole-2-propanoic acid, 1-[1-[2-[(2-amino-2-methyl-1-oxopropyl)amino]-3-(1H-indol-3-yl)-1-oxopropyl]-4-piperidinyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.